

# PATENT COOPERATION TREATY

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From the  
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

PCT

To:

HEISER, David E.  
E. I. DU PONT DE NEMOURS  
AND COMPANY  
Legal Patent Records Center  
4417 Lancaster Pike  
Wilmington, Delaware 19805  
ETATS-UNIS D'AMERIQUE

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NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing  
(day/month/year)

15.11.2004

Applicant's or agent's file reference  
BA9307PCT

## IMPORTANT NOTIFICATION

International application No.  
PCT/US 03/23820

International filing date (day/month/year)  
29.07.2003

Priority date (day/month/year)  
31.07.2002

Applicant  
E.I. DU PONT DE NEMOURS AND COMPANY et al.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

**EEL NOTED**

31 Jan 2005

Name and mailing address of the international preliminary examining authority:



European Patent Office  
D-80298 Munich  
Tel. +49 89 2399 - 0 Tx: 523656 epmu d  
Fax: +49 89 2399 - 4465

Authorized Officer

Ambroa, J.R.



Tel. +49 89 2399-8012



## PATENT COOPERATION TREATY

## PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference BA9307PCT	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/US 03/23820	International filing date ( <i>day/month/year</i> ) 29.07.2003	Priority date ( <i>day/month/year</i> ) 31.07.2002
International Patent Classification (IPC) or both national classification and IPC C07D401/04		
Applicant E.I. DU PONT DE NEMOURS AND COMPANY et al.		
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of    sheets.</p>		
<p>3. This report contains indications relating to the following items:</p> <p>I    <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II    <input type="checkbox"/> Priority</p> <p>III    <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV    <input type="checkbox"/> Lack of unity of invention</p> <p>V    <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI    <input type="checkbox"/> Certain documents cited</p> <p>VII    <input type="checkbox"/> Certain defects in the international application</p> <p>VIII    <input type="checkbox"/> Certain observations on the international application</p>		
Date of submission of the demand  23.02.2004	Date of completion of this report  15.11.2004	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Usuelli, A  Telephone No. +49 89 2399-7366 	

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/US 03/23820

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-29 as originally filed

**Claims, Numbers**

1-13 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/US 03/23820**

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes: Claims	1-13
	No: Claims	
Inventive step (IS)	Yes: Claims	1-13
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-13
	No: Claims	

**2. Citations and explanations**

**see separate sheet**

**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1- Reference is made to the following documents:

- d1: ELGUERO, JOSÉ; JACQUIER, ROBERT; MONDON, SYLVIANE:  
"Recherches dans la série des azoles. XXXVIII. - Réactivité des bromo-3  
pyrazolines-2" BULLETIN DE LA SOCIÉTÉ CHIMIQUE DE FRANCE, vol. 5,  
1969, pages 1683-1686, XP009022311
- d2: US-A-3 577 471
- d3: GB-A-1 410 191

**2- Novelty**

D1 and d3 disclose processes for the preparation of 3-halo-pyrazole derivatives. D1 generically discloses a process for the nucleophilic substitution of a 3-bromo pyrazole derivative (cf. preparation of compound 3). However, there are no examples in which the nucleophile is a compound corresponding to present compound HX1. Hence, on account of the nucleophile used the process of present claim 1 can be taken as a novel selection over the generic process disclosed in d1.

The process of d3 differs from the present process on account of the starting material. D2 does not relate to the preparation of 3-halo-pyrazole derivatives.

Hence, the process of present claim 1 is novel.

Since all the claims relate to processes involving the reaction of claim 1, the requirements of Art.33.2 are satisfied by all of them.

**3- Inventive step**

3.1- D1 which describes the reactivity of 3-bromopyrazolines and in particular the nucleophilic substitutions carried out with these compounds is regarded as the closest state of the art.

The applicant appears to have set himself the task of providing a new process for preparing 3-halo-pyrazolines and the use of this process in the preparation of the compounds Ia and III. The experimental parts of the present application show that the process claimed can effectively be used for preparing 3-halo-pyrazolines and the compounds Ia and III.

Taking into account of the results disclosed in the present application and of the content of the prior art it appears that two technical problems can be formulated:

- the provision of an alternative method for preparing 3-halo-pyrazolines (claims 1 to 5)

- the provision of methods for preparing the compounds Ia and III (claims 6-13).

3.2- Having regard to the process of claims 1 to 5, it is observed that d1 teaches that 3-Br-pyrazolines, i.e. compounds corresponding to present formula (II) wherein X2 is Br, can be treated with nucleophiles for replacing the bromine with said nucleophile. D1 specifically discloses reactions using EtOH (see preparation of compound 5) or an amine (see Table I) as nucleophile. At page 1863 (right column) it is stated that the halogen of halogenopyrazoles is less mobile than in the case of  $\alpha$ -halogenohydrazone. In fact, the teaching that can be derived from the examples is that the displacement of the bromine of 3-Br-pyrazolines, takes place only under basic conditions. The reactions with EtOH as nucleophile are carried out in the presence of KOH. In the other cases, the nucleophiles used are amines, i.e. basic compounds. Furthermore, the reactions with amines appear more difficult than the corresponding reactions carried out using EtOH/KOH as nucleophile. From this observation, the skilled person would deduce that increasing the nucleophile basicity increases the reactivity with 3-bromopyrazolines. Hence, despite the reaction disclosed on top of page 1683 suggests that the bromine of 3-bromopyrazoline can be replaced by any halogen, from a complete reading of the document the skilled person would deduce that this displacement can be made only under basic conditions.

This conclusion would not change even taking into account of d3, which discloses (reaction 3) the replacement of the bromine with the chlorine in reaction in which the bromoethylene is treated with HCl. The compounds involved in this reaction are very different from the ones of the present application. Hence, the skilled person would not be motivated to use the nucleophile of d3 in the process of the present application. Hence, it is considered that the process according to present claims 1 to 5 do comply with the requirements of Art. 33.3. PCT.

3.3- The processes of claims 6 to 13 are also inventive since they involve the reaction of claim 1.

Moreover, none of the cited documents disclose processes for the preparations of the compounds Ia and III which appear to have been disclosed for the first time in the application WO03016283, published after the priority date of the present application. Hence, it appears that the skilled person would not arrive at the present processes of claims 6 to 13 in an obvious manner.